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(54) Title: TRICYCLIC INDOLE HYDROXYETHYLAMINE DERIVATIVES AND THEIR USE IN THE TREATMENT OF ALZHEIMER'S DISEASE

$$(R^{1})_{m} \xrightarrow{R} A \qquad (I)$$

$$(R^{2})_{n} \xrightarrow{H} OH \xrightarrow{H} (I)$$

(57) Abstract: The present invention relates to novel hydroxyethylamine compounds having Asp2 (β -secretase, BACE1 or Memapsin) inhibitory activity of formula (I), processes for their preparation, to compositions containing them and to their use in the treatment of diseases characterised by elevated β -amyloid levels or β -amyloid deposits, particularly Alzheimer's disease. Formula (I) wherein, inter alia, A-B represents -NR5-SO₂- or -NR5-CO-; R5 represents

hydrogen, C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-10} cycloalkyl, $-C_{0-6}$ alkylaryl, $-C_{0-6}$ alkyl-heteroaryl, $-C_{0-6}$ alkyl-heteroaryl, $-C_{3-10}$ cycloalkyl-aryl or $-C_{3-10}$ cycloalkyl-heteroaryl; -W- represents $-CH_2$ -, $-(CH_2)_2$ -, $-(CH_2)_3$ -, -C(H)=C(H)- or $-CH_2$ --C(H)=C(H)-; -X-Y-Z represents $-C=CR^8-NR^9$ -;

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